

# STN-Structure Search

5/25/08

10/525,985

=> d ibib abs hitstr 1-136

L10 ANSWER 1 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:408670 CAPLUS

TITLE: Alkaloid of lindera aggregata, its preparation and application in pharmaceutical

INVENTOR(S): Hao, Guixin; Wang, Zhengtao; Zhou, Jiyan

PATENT ASSIGNEE(S): Shanghai University of Traditional Chinese Medicine, Peop. Rep. China

SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 14 pp. CODEN: CNXXEV

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1762359	A	20060426	CN 2005-10030088	20050928
PRIORITY APPLN. INFO.:			CN 2005-10030088	20050928

AB The patent relates to the application of alkaloid of Lindera aggregata to prepare the medicine for treating rheumatoid arthritis and other autoimmune disease. The alkaloid of Lindera aggregata is prepared by extracting Lindera aggregata with 6-10 fold and 70-90 % at reflux temperature for 1-48 h and for three times, combining extraction solution, filtering, concentrating, adding

HCl, dissolving alkaloid, filtering, adjusting pH to 8.0-10.0 with ammonia liquor, stirring, storing for 5 h, filtering to remove deposit, and reduced pressure drying at 55 ° to alkaloid of Lindera aggregata. The content of total alkaloid over 50 %, and norisoboldine over 30 %. The alkaloid contains boldine, laurolistine, reticuline, linderaline, pallidine, protosinomenine, laudanosoline-3',4'-dimethylether, pronuciferine, and norisoboldine.

IT INDEXING IN PROGRESS

IT 476-70-0, Boldine 23599-69-1, Norisoboldine

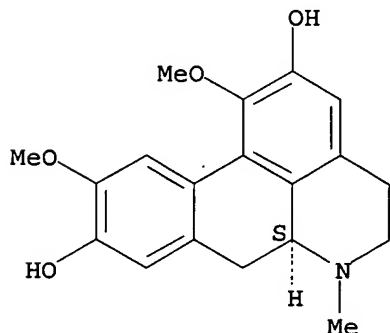
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(alkaloid of Lindera aggregata, its preparation and application in pharmaceutical)

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 23599-69-1 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-1,9-diol, 5,6,6a,7-tetrahydro-2,10-dimethoxy-, (6aS)- (9CI) (CA INDEX NAME)

consumption of antioxidants is beneficial. However, the literature is divided in support of this conclusion. In this study, Boldine, an alkaloid of *Peumus boldus* and reduced form of RU486, was tested for their antioxidant potency both in, in vitro oxidation system and in mouse models. Boldine decreased the ex-vivo oxidation of low-d. lipoprotein (LDL). Two different in vivo studies were performed to study the effect of these compds. on the atherosclerotic lesion formation in LDLR-/- mice. In study I, three groups of LDLR-/- mice (N=12 each) were fed an atherogenic diet. Group 1 was given vehicle and group 2 and 3 were given 1 mg of Boldine or Red RU per day for 12 wk. In study II, two groups of LDLR-/- mice (N=10 each) were fed an atherogenic diet. Group 1 was given vehicle and group 2 was given 5 mg of Boldine per day. The results indicated that there was a decrease in lesion formation reaching a 40% reduction due to Boldine and 45% reduction by Red RU compared to controls. The in vivo tolerance of Boldine in humans (has been used as an herbal medicine in other diseases) should make it an attractive alternative to Vitamin E.

IT 476-70-0, Boldine

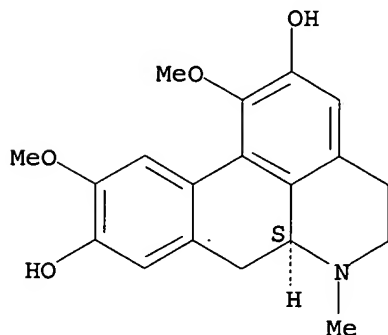
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(a novel alkaloid antioxidant, Boldine and synthetic antioxidant, reduced form of RU486, inhibit the oxidation of LDL in-vitro and atherosclerosis in vivo in LDLR-/- mice)

RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 29 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:220036 CAPLUS

DOCUMENT NUMBER: 140:247606

TITLE: Method to treat cardiac fibrosis with a combination therapy of an angiotensin II antagonist and an epoxy-steroidal aldosterone antagonist

INVENTOR(S): Egan, James J.; McMahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.

PATENT ASSIGNEE(S): G.D. Searle & Co., USA

SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S. Ser. No. 506,068, abandoned.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/525,985

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US 2004053903	A1	20040318	US 2003-371699	20030221
US 6984633	B2	20060110		
PRIORITY APPLN. INFO.:			US 1995-486085	B1 19950607
			US 1997-783404	B1 19970113
			US 1997-980734	B3 19971201
			US 1998-181586	B1 19981028
			US 1999-317237	B1 19990524
			US 2000-506068	B1 20000217

OTHER SOURCE(S): MARPAT 140:247606

AB A therapeutic method is described for treating cardiac fibrosis or cardiac hypertrophy using a combination therapy comprising a therapeutically-effective amount of an epoxy-steroidal aldosterone receptor antagonist and a therapeutically-effective amount of an angiotensin II receptor antagonist. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. Preferred epoxy-steroidal aldosterone receptor antagonists are 20-spiroxane steroidal compds. characterized by the presence of a 9 $\alpha$ , 11 $\alpha$ -substituted epoxy moiety. A preferred combination therapy includes the angiotensin II receptor antagonist 5-2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl-1H-tetrazole and the aldosterone receptor antagonist epoxymexrenone.

IT 95508-61-5, Isoteoline

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(method to treat cardiac fibrosis and hypertrophy with a combination therapy of an angiotensin II (AngII) antagonist and an epoxy-steroidal aldosterone antagonist)

RN 95508-61-5 CAPLUS

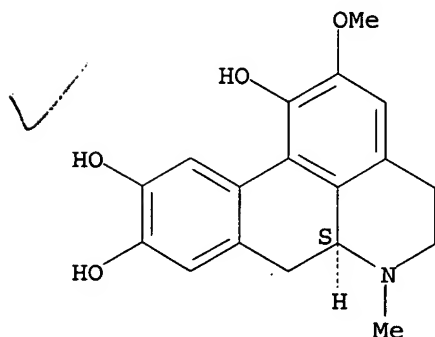
CN 4H-Dibenzo[de,g]quinolinediol, 5,6,6a,7-tetrahydro-2,9(or 2,10)-dimethoxy-6-methyl-, (6aS) - (9CI) (CA INDEX NAME)

CM 1

CRN 95508-60-4

CMF C18 H19 N O4

Absolute stereochemistry.



CM 2

CRN 67-56-1

CMF C H4 O

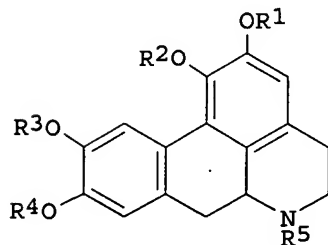
10/525,985

H<sub>3</sub>C-OH

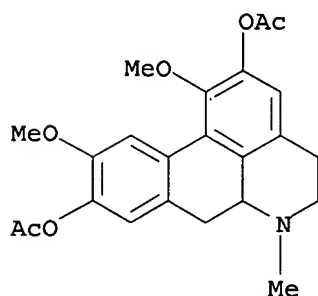
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

*Inventor*  
L10 ANSWER 30 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 2004:181940 CAPLUS  
DOCUMENT NUMBER: 140:235926  
TITLE: Preparation of new noraporphine derivatives for use in cosmetic and dermopharmaceutic compositions  
INVENTOR(S): Lintner, Karl  
PATENT ASSIGNEE(S): Sederma Sa, Fr.  
SOURCE: Fr. Demande, 32 pp.  
CODEN: FRXXBL  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

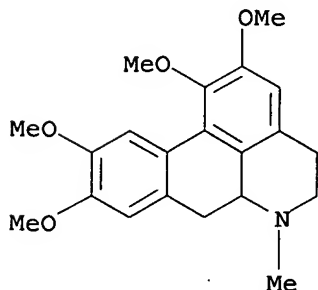
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2843963	A1	20040305	FR 2002-10810	20020830
FR 2843963	B1	20041022		
WO 2004024695	A1	20040325	WO 2003-FR2400	20030729
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003288304	A1	20040430	AU 2003-288304	20030729
EP 1534682	A1	20050601	EP 2003-780203	20030729
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
JP 2005537332	T2	20051208	JP 2004-535571	20030729
PRIORITY APPLN. INFO.:			FR 2002-10810	A 20020830
			WO 2003-FR2400	W 20030729
OTHER SOURCE(S):	MARPAT 140:235926			
GI				



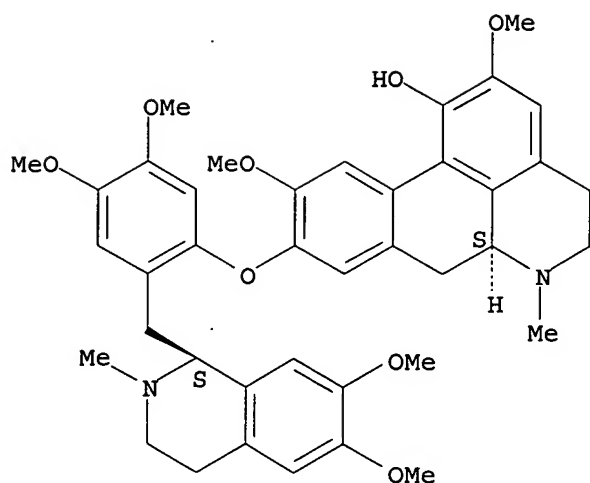
- AB The present invention relates to new derivs. I (R1, R2, R3, R4, R5 = H, alkyl, aryl, aralkyl, acyl, sulfonyl sugar) of noraporphine, their optical isomers, their mixts. and their cosmetically acceptable salts, it also relates to all the cosmetic and dermopharmaceutic compns. which contain one or more these derivs., only or in partnership with an extract of plant, particularly the *Glaucium flavum*, and in particular the prepns. having for objective a reduction in the pigmentation, an anti-age effect, or thinning. Thus, 2,9-diacetoxy-1,10-dimethoxy-6-methylnoraporphine [I; R1 = R4 = Ac, R2 = R3 = R5 = Me; Ac = COMe] was prepared from 2,9-dihydroxy-1,10-dimethoxy-6-methylnoraporphine (I; R1 = R4 = H, R2 = R3 = R5 = Me) via acetylation with Ac2O in CH2Cl2 containing EtN(CHMe2)2. I (R1 = R4 = Ac, R2 = R3 = R5 = Me) was tested for its ability to inhibit lipid peroxidn. [100% @ 0.15 mmol/L] and glycerol-3-phosphate dehydrogenase [76% @ 0.09 mmol/L]. A day cream formulation containing I (R1 = R4 = Ac, R2 = R3 = R5 = Me) is described.
- IT **73951-75-4P**, 2,9-Diacetoxy-1,10-dimethoxy-6-methylnoraporphine  
 RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
 PREP (Preparation); USES (Uses)  
 (preparation and bioactivity of new noraporphine derivs. for use in cosmetic and dermopharmaceutic compns.)
- RN 73951-75-4 CAPLUS
- CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)



- IT **5630-11-5**, 1,2,9,10-Tetramethoxy-6-methylnoraporphine  
**38849-65-9**, 1,2,10-Trimethoxy-9-hydroxy-6-methylnoraporphine  
 RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (preparation and bioactivity of new noraporphine derivs. for use in cosmetic and dermopharmaceutic compns.)
- RN 5630-11-5 CAPLUS
- CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl- (9CI) (CA INDEX NAME)



RN 38849-65-9 CAPLUS



REFERENCE COUNT: 116 THERE ARE 116 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 45 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:808279 CAPLUS

DOCUMENT NUMBER: 135:344631

TITLE: Preparation of thaliporphine and its derivatives for treatment of cardiac diseases same

INVENTOR(S): Su, Ming-Jai; Lee, Shoen-Sheng

PATENT ASSIGNEE(S): National Science Council, Taiwan

SOURCE: U.S., 17 pp.  
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6313134	B1	20011106	US 2000-644932	20000823
TW 225397	B1	20041221	TW 2000-89108508	20000504
CA 2412170	AA	20020228	CA 2001-2412170	20010228
WO 2002016325	A1	20020228	WO 2001-CN304	20010228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001048226	A5	20020304	AU 2001-48226	20010228
EP 1311486	A1	20030521	EP 2001-921112	20010228
EP 1311486	B1	20060503		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011761	A	20030624	BR 2001-11761	20010228
JP 2004506719	T2	20040304	JP 2002-521201	20010228
ZA 2002009965	A	20040309	ZA 2002-9965	20021209
PRIORITY APPLN. INFO.:				
			TW 2000-89108508	A 20000504
			US 2000-644932	A 20000823

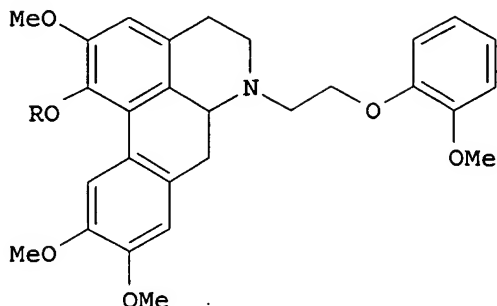
10/525,985

WO 2001-CN304

W 20010228

OTHER SOURCE(S):  
GI

MARPAT 135:344631



AB The thaliporphine derivs. I (R = H, acetyl, propionyl, butyryl, tert-butoxycarbonyl) were prepared for the treatment and/or prophylaxis of cardiac diseases, including cardiac arrhythmia, myocardial ischemia or myocardial infarction, and sudden death caused by cardiac arrhythmia or acute myocardial infarction. Thus, (+)-laurolitsine, isolated from the stem of *Phoebe formosana* Hayata, underwent formylation, methylation and hydrolysis to give norglaucine, which was methylated with formaldehyde and NaBH<sub>4</sub> and then demethylated with 90% H<sub>2</sub>SO<sub>4</sub> to give thaliporphine. 10 μM thaliporphine was effective in inhibiting cardiac arrhythmic induced in the isolated guinea pig heart subjected to global ischemic followed by reperfusion.

IT 5083-88-5P, Thaliporphine 371196-14-4P,  
(+)-N-Propylthaliporphine 371196-20-2P 371196-21-3P  
371196-22-4P 371196-23-5P 371196-24-6P

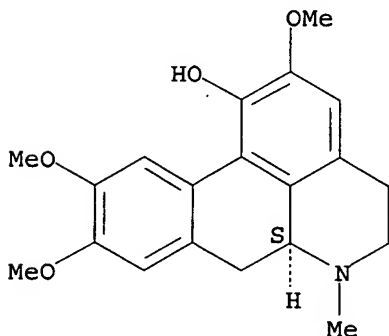
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thaliporphine and derivs. for treatment of cardiac disease)

RN 5083-88-5 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-1-ol, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

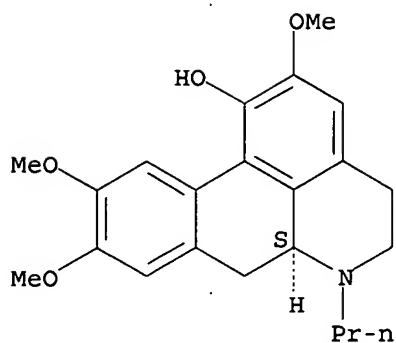


RN 371196-14-4 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-1-ol, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-propyl-, (6aS)- (9CI) (CA INDEX NAME)

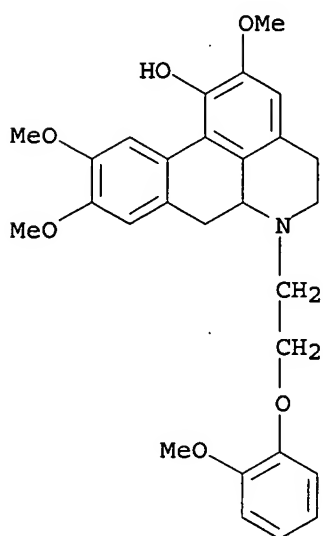
Absolute stereochemistry.

10/525,985



RN 371196-20-2 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-1-ol, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-[2-(2-methoxyphenoxy)ethyl]- (9CI) (CA INDEX NAME)

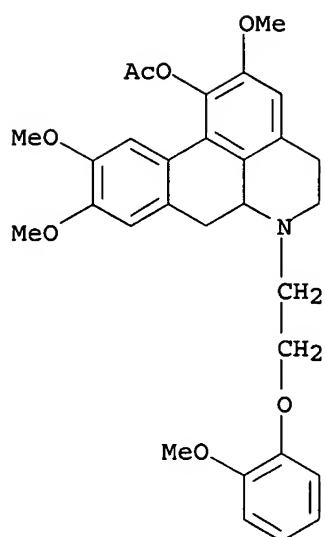


RN 371196-21-3 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-1-ol, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-[2-(2-methoxyphenoxy)ethyl]-, acetate (ester) (9CI) (CA INDEX NAME)

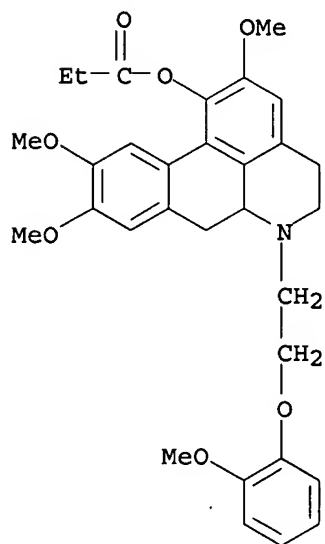


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RN 371196-22-4 CAPLUS

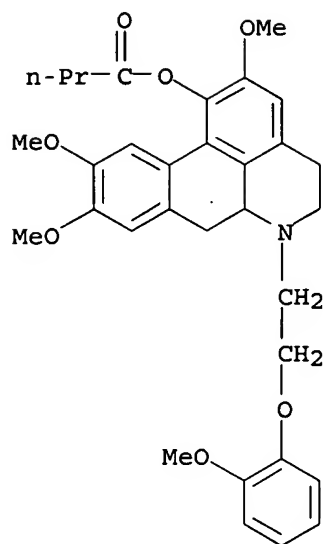
CN 4H-Dibenzo[de,g]quinolin-1-ol, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-[2-(2-methoxyphenoxy)ethyl]-, propanoate (ester) (9CI) (CA INDEX NAME)



RN 371196-23-5 CAPLUS

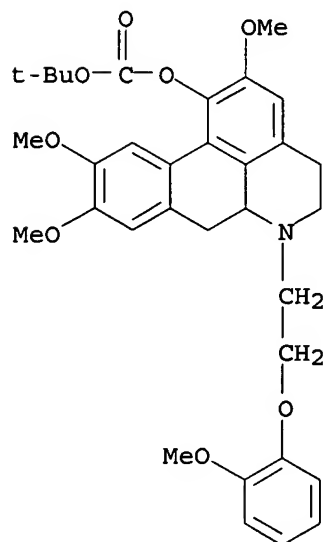
CN Butanoic acid, 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-[2-(2-methoxyphenoxy)ethyl]-4H-dibenzo[de,g]quinolin-1-yl ester (9CI) (CA INDEX NAME)

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RN 371196-24-6 CAPLUS

CN Carbonic acid, 1,1-dimethylethyl 5,6,6a,7-tetrahydro-2,9,10-trimethoxy-6-[2-(2-methoxyphenoxy)ethyl]-4H-dibenzo[de,g]quinolin-1-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 46 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:546294 CAPLUS

DOCUMENT NUMBER: 135:327038

TITLE: Chemopreventive activity of isoquinoline alkaloids from Corydalis plants

AUTHOR(S): Ito, Chihiro; Itoigawa, Masataka; Tokuda, Harukuni; Kuchide, Masashi; Nishino, Hoyoku; Furukawa, Hiroshi  
CORPORATE SOURCE: Faculty of Pharmacy, Meijo University, Nagoya, 468-8503, Japan

SOURCE: Planta Medica (2001), 67(5), 473-475  
CODEN: PLMEAA; ISSN: 0032-0943

10/525,985

DOCUMENT TYPE: Journal  
LANGUAGE: English

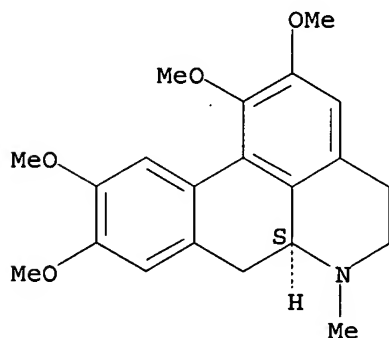
AB The alkaloid (S)-(+)-1,2,9,10-tetramethoxyaporphine (glaucine) is a phosphodiesterase 4 inhibitor with bronchodilator and anti-inflammatory activity in vitro. In this study, we examined the in vivo effects of glaucine on an animal model of asthma. In ovalbumin sensitized guinea pigs, inhaled glaucine (10 mg ml<sup>-1</sup>, 3 min) inhibited the acute bronchoconstriction produced by aerosol antigen (antigen response was 256±42 and 95±14 cm H<sub>2</sub>O l<sup>-1</sup> s<sup>-1</sup> in control and glaucine-treated animals, resp.; P<0.05). Pretreatment with glaucine (10 mg ml<sup>-1</sup>, 10 min inhalation, 30 min pre- and 3 h post-antigen exposure) markedly reduced airway hyperreactivity to histamine, eosinophil lung accumulation, and increased eosinophil peroxidase activity in bronchoalveolar lavage fluid 24 h after exposure of conscious guinea pigs to aerosol antigen. In addition, inhaled glaucine (5-10 mg ml<sup>-1</sup>, 3 min) inhibited the microvascular leakage produced after inhaled antigen at all airway levels. These data support the potential interest of phosphodiesterase 4 inhibitors in asthma treatment.

IT 475-81-0, Glaucine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(effects of inhaled glaucine on pulmonary responses to antigen in sensitized guinea pigs)

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 61 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:342579 CAPLUS

DOCUMENT NUMBER: 132:352772

TITLE: Alkaloids of Stephania species (Menispermaceae) as chloroquine resistance-overcoming agents, and antimalarial agents containing them

INVENTOR(S): Ono, Minoru; Haruki, Kosuke

PATENT ASSIGNEE(S): Kaken Drug Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

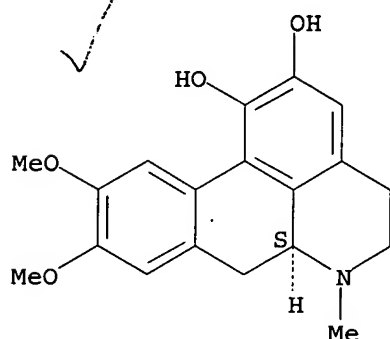
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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✓  
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JP 2000143523      A2      20000523      JP 1998-311919      19981102  
PRIORITY APPLN. INFO.:      JP 1998-311919      19981102  
AB Antimalarial agents contain the alkaloids, their derivs., and/or their salts, and other antimalarial agents. Concomitant use of chloroquine and 0.08 µg/mL cepharanthine showed antimalarial activity against K1 strain with an IC50 of 13.2 nM, vs. 264.5 nM, without cepharanthine.  
IT 70518-70-6, Lastourvilline  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antimalarial agents containing alkaloids of Stephania for chloroquine-resistant strains)  
RN 70518-70-6 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline-1,2-diol, 5,6,6a,7-tetrahydro-9,10-dimethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

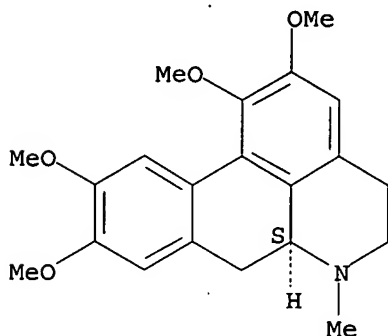
Absolute stereochemistry.



10/525,985

IT 475-81-0, S-(+)-Glaucine  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(glaucine mechanism of bronchodilator and antiinflammatory activities)  
RN 475-81-0 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 66 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:420883 CAPLUS  
DOCUMENT NUMBER: 131:97615  
TITLE: NFκB activity inhibitors  
INVENTOR(S): Baba, Masanori; Ono, Minoru  
PATENT ASSIGNEE(S): Kaken Drug Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 12 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 11180873	A2	19990706	JP 1997-353879	19971222
✓ EP 931544	A2	19990728	EP 1998-104269	19980310
EP 931544	A3	20040825		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

PRIORITY APPLN. INFO.: JP 1997-353879 A 19971222

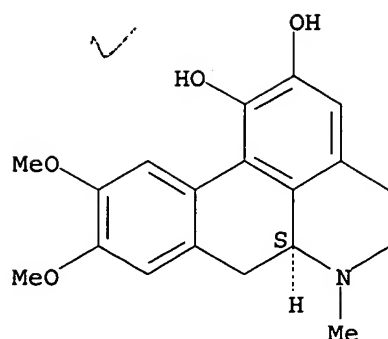
AB Alkaloids [e.g. cepharanthin and isotetrandrine] isolated from Stephania cepharantha are nuclear factor κB activity inhibitors useful for prophylactic or therapeutic use.

IT 70518-70-6, Lastourvilline  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(nuclear factor κB activity inhibitors for prophylactic or therapeutic use)

RN 70518-70-6 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline-1,2-diol, 5,6,6a,7-tetrahydro-9,10-dimethoxy-6-methyl-, (6aS)-(9CI) (CA INDEX NAME)

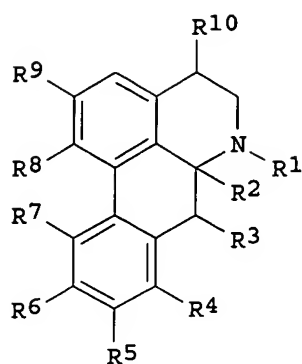
Absolute stereochemistry.

10/525,985

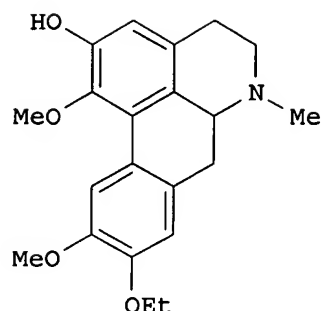


L10 ANSWER 67 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1999:233799 CAPLUS  
DOCUMENT NUMBER: 130:282215  
TITLE: Preparation of aporphinoid matrix metalloproteinase inhibitors  
INVENTOR(S): Krell, Hans-Willi; Grams, Frank; Brunner, Alfred  
PATENT ASSIGNEE(S): Roche Diagnostics G.m.b.H., Germany  
SOURCE: PCT Int. Appl., 26 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9916441	A1	19990408	WO 1998-EP6123	19980926
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
ZA 9808782	A	20000327	ZA 1998-8782	19980925
AU 9897470	A1	19990423	AU 1998-97470	19980926
PRIORITY APPLN. INFO.:				
			EP 1997-116778	A 19970926
			WO 1998-EP6123	W 19980926
OTHER SOURCE(S): MARPAT 130:282215				
GI				



I



II

AB Aporphine derivs. I [R1 = H, OH, acyl, halogen, alkyl; R2 = H, OH, CN, alkyl, acyl; R3, R4 = H, OH, acyl, halogen, alkyl; R3R4 = fused ring; R5, R6 = H, OH, SH, acyl, halogen, alkyl, alkoxy; R7 = H, OH, halogen, amino; R8 = H, OH, SH, acyl, halogen, alkyl; R9 = H, OH, SH, alkoxy, alkylthio; R8R9 = O-(CH<sub>2</sub>)<sub>n</sub>-O; n = 1, 2; R10 = H, OH, SH, acyl, halogen, amino, alkyl] were prepared as matrix metalloproteinase (MMP) inhibitors for the treatment of diseases where MMP activity is involved. Thus, aporphine II was prepared by reacting EtI with 1,10-dimethoxyaporphine-2,9-diol in DMF using K<sub>2</sub>CO<sub>3</sub>. Prepared compds. were tested for MMP-2, -3, -8, and -9 inhibitory activity.

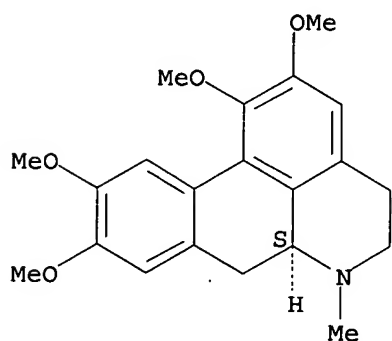
IT 475-81-0P, Glaucine 476-70-0P, Boldine  
222557-68-8P 222557-70-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); **THU (Therapeutic use)**; BIOL (Biological study); PREP (Preparation); USES (Uses)  
(aporphinoid matrix metalloproteinase inhibitors)

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

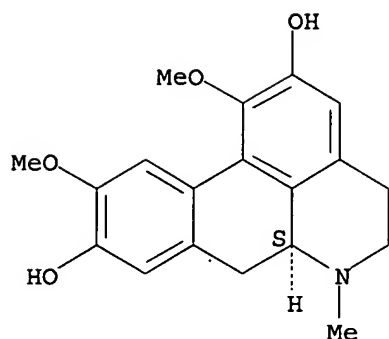


RN 476-70-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

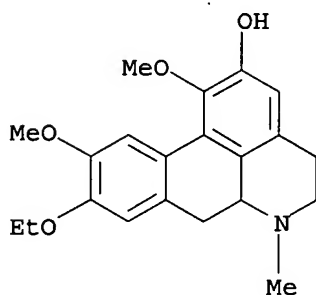
Absolute stereochemistry.

10/525,985



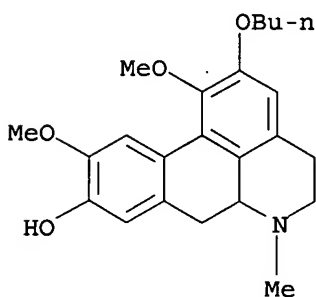
RN 222557-68-8 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-2-ol, 9-ethoxy-5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl- (9CI) (CA INDEX NAME)



RN 222557-70-2 CAPLUS

CN 4H-Dibenzo[de,g]quinolin-9-ol, 2-butoxy-5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 68 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:217643 CAPLUS

DOCUMENT NUMBER: 130:217510

TITLE: Recent developments in the chemistry and pharmacology of boldo and boldine

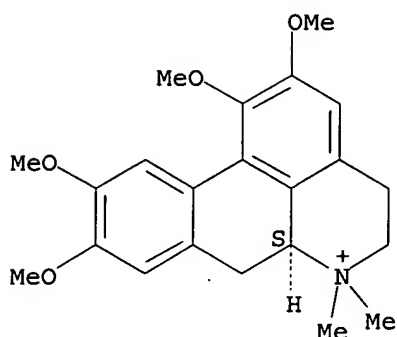
AUTHOR(S): Cassels, Bruce K.

CORPORATE SOURCE: Department of Chemistry, Facultad de Ciencias, Universidad de Chile, Santiago, Chile

SOURCE: Chemistry, Biological and Pharmacological Properties



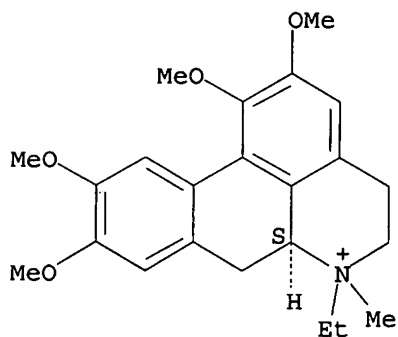
10/525,985



● I<sup>-</sup>

RN 22267-73-8 CAPLUS  
CN 4H-Dibenzo[de,g]quinolinium, 6-ethyl-5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I<sup>-</sup>

L10 ANSWER 133 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1968:94521 CAPLUS  
DOCUMENT NUMBER: 68:94521  
TITLE: Comparative pharmacological investigation of some alkaloids of the aporphine group  
AUTHOR(S): Berezinskaya, V. V.; Aleshinskaya, E. E.; Aleshkina, Ya. A.  
CORPORATE SOURCE: Vses. Nauch.-Issled. Inst. Lek. Rast., Moscow, USSR  
SOURCE: Farmakologiya i Toksikologiya (Moscow) (1968), 31(1), 44-6  
CODEN: FATOAO; ISSN: 0014-8318  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB Glaucine, bulbocapnine, corydine, and isocorydine all exhibited adrenolytic action in anesthetized cats and rabbits. Glaucine was the most active adrenolytic agent of the 4 aporphine alkaloids. Unlike the others, when administered in tolerable doses, glaucine exhibited strong antitussive properties but did not cause catalepsy. Glaucine was the only

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compound in this group which did not contain at least 1 free OH group, and its distinct pharmacol. action may be related to this mol. structural variation.

IT 475-81-0

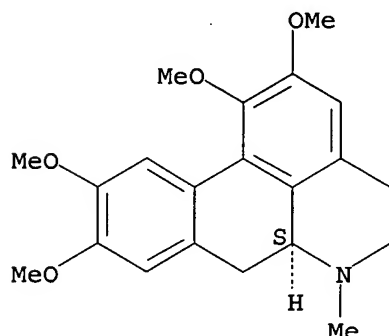
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(sympatholytic activity of)

RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L10 ANSWER 134 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1968:20793 CAPLUS

DOCUMENT NUMBER: 68:20793

TITLE: Pharmacological study of methiodides of O-methyl-isocorydine and thalicmidine

AUTHOR(S): Shakhabutdinova, Kh. S.; Kamilov, I. K.; Fakhrutdinov, S. F.

SOURCE: Meditsinskii Zhurnal Uzbekistana (1967), (5), 36-9  
CODEN: MZUZA8; ISSN: 0025-830X

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB The effect of these compds. was investigated on white mice and on rabbits. Small s.c. doses of both preps. provoked a motility restriction of short duration. Average doses of 5-9 mg./kg. of body weight of O-methylisocorydine

(I)

and of 100-350 mg./kg. of thalicmidine (II) caused motility disturbances, occasional head trembling, forced respiration, convulsions, and finally (in some animals) a definite stop of breathing. These signs were evident for 45-70 min., the status of the animals then becoming normal. The absolute s.c. LD of I is 11 mg./kg., and that of II is 450 mg./kg. Much smaller doses are needed by i.v. application: 5 mg. I/kg. and 10 mg. II/kg. The death of all exptl. animals follows 10-40 sec. later. Tolerable doses provoke an inapparent weakening of muscle strength in the lower extremities. Average doses elevate the amplitude of respiratory movements and accelerate the frequency of these movements, the influence on respiration being more pronounced with the methiodide of II. Relatively small differences in the structure of quaternary derivs. of both I and II provoke distinctly different biol. results. I has a much greater toxicity than the methiodide of II. The intensity and duration of their hypotensive activity differ, and are dependent on the dose of the alkaloid. Small doses of II methiodide induce a distinct fall of blood pressure, but the effect of I is a much more protracted one. 9 references.

IT 18482-48-9

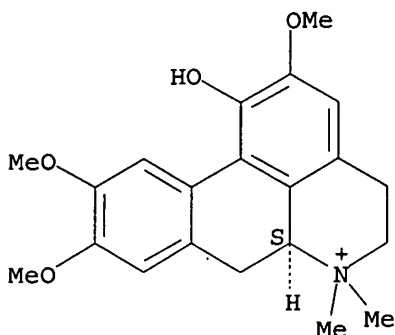
10/525,985

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacology of)

RN 18482-48-9 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 5,6,6a,7-tetrahydro-1-hydroxy-2,9,10-trimethoxy-6,6-dimethyl-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I<sup>-</sup>

L10 ANSWER 135 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:498890 CAPLUS

DOCUMENT NUMBER: 67:98890

TITLE: Additional pharmacological properties of some quaternary glaucine derivatives

AUTHOR(S): Donev, N.

SOURCE: Trudove na Nauchnoizsledovatel'skiya Khimikofarmatsevtichen Institut (1966), 5, 92-8  
CODEN: TKZGAG; ISSN: 0371-8972

DOCUMENT TYPE: Journal

LANGUAGE: Bulgarian

AB The pharmacol. effect was studied of glaucine.PrI (2,3,5,6-tetramethoxyaporphine.PrI) (I) and glaucine.PhCH<sub>2</sub>Cl (II) on respiration, autonomous nervous system, and smooth muscle in cats, rabbits, and mice. Aqueous solns. were injected i.v. The LD<sub>50</sub> of I was 0.25 and of II 0.24 g./kg. No effect on respiration was observed. The blood pressure fell by 50% at 0.0005 g./kg. of I or II. The pressor effect of adrenaline was potentiated. The hypotensive effect of atropine and the depressor effect of acetylcholine and vagus were considerably decreased. Mild spasmolytic activity on an isolated intestine was observed.

IT 17459-99-3 17460-00-3

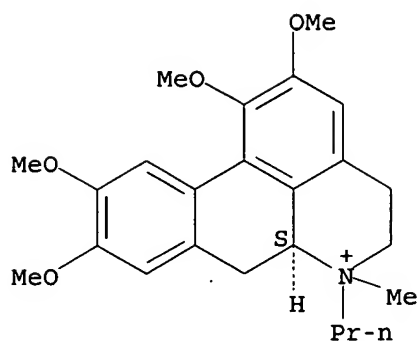
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacology of)

RN 17459-99-3 CAPLUS

CN 6α-Aporphinium, 1,2,9,10-tetramethoxy-6-propyl-, iodide (8CI) (CA INDEX NAME)

Absolute stereochemistry.

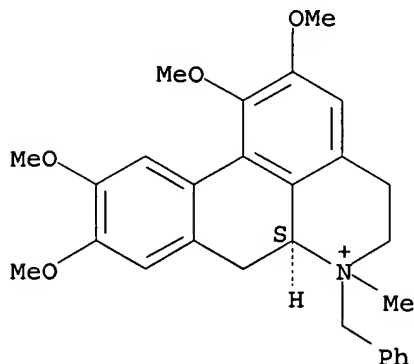
10/525,985



● I<sup>-</sup>

RN 17460-00-3 CAPLUS  
CN 6α-Aporphinium, 6-benzyl-1,2,9,10-tetramethoxy-, chloride (8CI)  
(CA INDEX NAME)

Absolute stereochemistry.



● Cl<sup>-</sup>

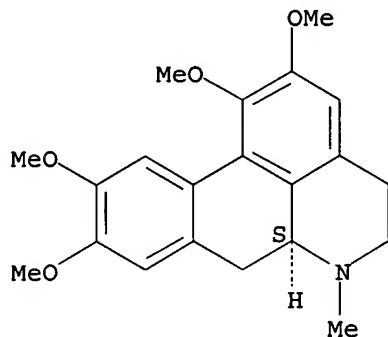
L10 ANSWER 136 OF 136 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1967:17903 CAPLUS  
DOCUMENT NUMBER: 66:17903  
TITLE: Pharmacology of the alkaloid glaucine  
AUTHOR(S): Aleshinskaya, E. E.; Berezhinskaya, V. V.  
CORPORATE SOURCE: All-Union Sci.-Res. Inst. Med. and Aromatic Plants,  
Moscow, USSR  
SOURCE: Farmakologiya i Toksikologiya (Moscow) (1966), 29(5),  
611-15  
CODEN: FATOAO; ISSN: 0014-8318  
DOCUMENT TYPE: Journal  
LANGUAGE: Russian  
AB Glaucine, from *Glaucium flavum*, is adrenolytic in mice and cats at 0.02  
mg./kg. In addition to its antagonism to adrenaline it has antitussive  
properties.  
IT 475-81-0  
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(pharmacology of)

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RN 475-81-0 CAPLUS

CN 4H-Dibenzo[de,g]quinoline, 5,6,6a,7-tetrahydro-1,2,9,10-tetramethoxy-6-methyl-, (6aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 14:10:04 ON 25 MAY 2006)

FILE 'REGISTRY' ENTERED AT 14:10:16 ON 25 MAY 2006

L1 STRUCTURE UPLOADED

L2 36 S L1

L3 702 S L1 FULL

FILE 'CAPLUS' ENTERED AT 14:13:53 ON 25 MAY 2006

L4 1512 S L3

L5 143 S L3/THU

FILE 'REGISTRY' ENTERED AT 14:15:24 ON 25 MAY 2006

L6 STRUCTURE UPLOADED

L7 30 S L6

L8 621 S L6 FULL

FILE 'CAPLUS' ENTERED AT 14:16:40 ON 25 MAY 2006

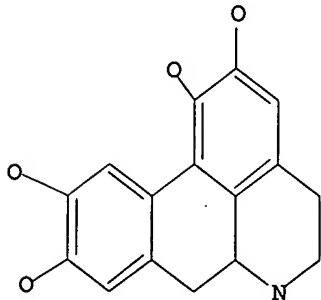
L9 1474 S L8

L10 136 S L8/THU

=> d l6

L6 HAS NO ANSWERS

L6 STR



Structure attributes must be viewed using STN Express query preparation.

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=> d ibib abs hitstr 1-12

L4 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:901908 CAPLUS  
DOCUMENT NUMBER: 143:234986  
TITLE: Dermo-cosmetic compositions for depigmentation of skin  
and their use  
INVENTOR(S): Besse, Renand  
PATENT ASSIGNEE(S): Laboratoires S.V.R., Fr.  
SOURCE: Eur. Pat. Appl., 17 pp.  
CODEN: EPXXDW  
DOCUMENT TYPE: Patent  
LANGUAGE: French  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1566168	A1	20050824	EP 2004-290479	20040223
EP 1566168	B1	20060419		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: EP 2004-290479 20040223

AB A dermo-cosmetic composition having depigmentation action on the skin comprises the combination of kojic acid esters, diacetylboldine, and undecenoylphenylalanine. A fluid gel contained dipalmitoyl kojic acid 10.0, dimethicone 1.0, glyceryl tribehenate 0.32, cyclomethicone 3.50, trihydroxy stearine (Thixogel) 16.0, isononyl isononanoate 25.0, undecenoyl phenylalanine 5.0, 0.1% diacetylboldine on neutral support 0.05, propylparaben 0.20, methylparaben 0.20, lavandin essence q.s., hydrophobic and sphingolipids 0.50 g, and water qs to 100.00 mL.

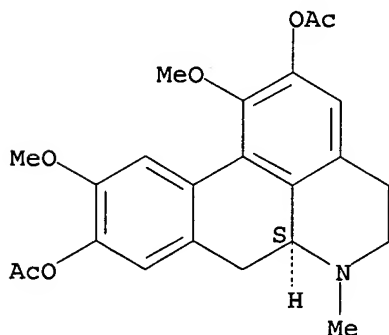
IT 72584-75-9

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(dermo-cosmetic compns. for depigmentation of skin and their use)

RN 72584-75-9 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

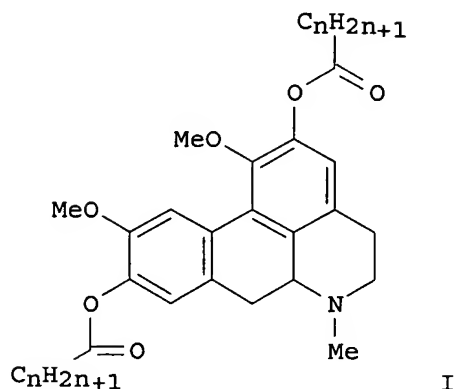
L4 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:931423 CAPLUS  
DOCUMENT NUMBER: 141:400495  
TITLE: Skin-lightening cosmetics containing boldines  
INVENTOR(S): Odera, Akio; Tanabe, Hiroyuki; Masuda, Junko  
PATENT ASSIGNEE(S): Croda Japan K. K., Japan

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SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004307352	A2	20041104	JP 2003-99156	20030402
PRIORITY APPLN. INFO.:			JP 2003-99156	20030402
OTHER SOURCE(S):	MARPAT	141:400495		
GI				



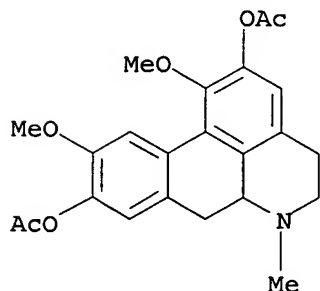
AB The cosmetics, which show low skin irritation, contain boldines I (n may be 1-8). A cream containing 0.004 weight% I showed good skin color-lightening effect.

IT 73951-75-4

RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(skin-lightening cosmetics containing boldines)

RN 73951-75-4 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:743699 CAPLUS

DOCUMENT NUMBER: 142:341426

TITLE: LUMISKIN: a new mechanism for reducing skin pigmentation

AUTHOR(S): Lintner, Karl

10/525,985

CORPORATE SOURCE: Sederma SAS, UK  
SOURCE: Research Disclosure (2004), 480(April), P418-P419 (No. 480011)  
CODEN: RSDSBB; ISSN: 0374-4353  
PUBLISHER: Kenneth Mason Publications Ltd.  
DOCUMENT TYPE: Journal; Patent  
LANGUAGE: English  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RD 480011		20040410		

PRIORITY APPLN. INFO.: RD 2004-480011 20040410

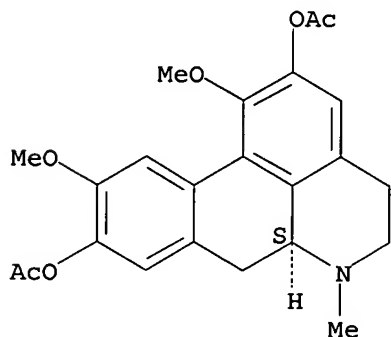
AB Enhanced understanding of the process of melanogenesis, and, in particular, the upstream pathways of tyrosinase regulation, have enabled discovery of new active substances called LUMISKIN. The development of LUMISKIN (diacetyl boldine) was based on regulation of tyrosinase activity via two key factors: calcium influx and the stabilization of the inactive form of tyrosinase. The efficacy of LUMISKIN has been demonstrated both in vitro and in vivo studies.

IT 72584-75-9, Lumiskin  
RL: BSU (Biological study, unclassified); COS (Cosmetic use); BIOL (Biological study); USES (Uses)  
(efficacy of LUMISKIN (diacetyl boldine) for reducing skin pigmentation)

RN 72584-75-9 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), (6aS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:460358 CAPLUS

DOCUMENT NUMBER: 141:248346

TITLE: Di-acetyl-nor-aporphines: novel molecules and novel mechanism to inhibit melanogenesis

AUTHOR(S): Mas-Chamberlin, C.; Peschard, O.; Leroux, R.; Mondon, Ph.; Lamy, F.; Lintner, K.

CORPORATE SOURCE: Fr.

SOURCE: SOFW Journal (2004), 130(3), 2, 4-8, 10  
CODEN: SOFJEE; ISSN: 0942-7694

PUBLISHER: Verlag fuer Chemische Industrie H. Ziolkowsky

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Nor-aporphine derivs. have been discovered which interfere with the intra- and extracellular calcium flux. It has been shown that adrenergic antagonists that block the Calcium exchange lead to an inhibition of the phospholipase C/IP3/PKC cascade, thus blocking tyrosinase activation.



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Di-acetyl-dimethoxy-methyl-nor-aporphine was a semi-synthetic mol. of natural origin with very high potency. On B16 melanocytes as well as in normal human melanocytes the decrease in melanin synthesis reached .apprx.50% at a level of 40 ppm in the culture medium. On a molar concentration

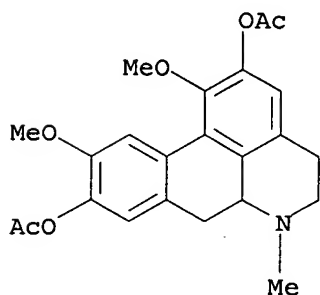
basis, this was 50 to 70 times stronger than Kojic acid inhibition. Yet, the cell viability was not affected. Reversibility studies showed that after washing out of the active compound, melanogenesis returns to normal levels. Possible mechanisms of the activity were discussed. Tests carried out on SkinEthic three-dimensional models of the epidermis and in vivo clin. studies on Asian population confirmed the strong inhibition of melanogenesis. Safety evaluation of these mols., on the other hand, demonstrated good skin tolerance and absence of toxicity.

IT 73951-75-4

RL: ADV (Adverse effect, including toxicity); BSU (Biological study, unclassified); COS (Cosmetic use); BIOL (Biological study); USES (Uses) (mechanism of skin lightening di-acetyl-nor-aporphines to inhibit melanogenesis)

RN 73951-75-4 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:181940 CAPLUS

DOCUMENT NUMBER: 140:235926

TITLE: Preparation of new noraporphine derivatives for use in cosmetic and dermopharmaceutic compositions

INVENTOR(S): Lintner, Karl

PATENT ASSIGNEE(S): Sederma Sa, Fr.

SOURCE: Fr. Demande, 32 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

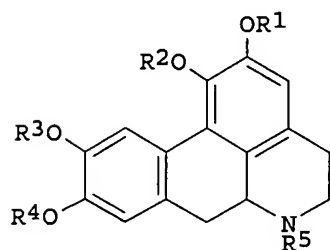
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2843963	A1	20040305	FR 2002-10810	20020830
FR 2843963	B1	20041022		
WO 2004024695	A1	20040325	WO 2003-FR2400	20030729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,				

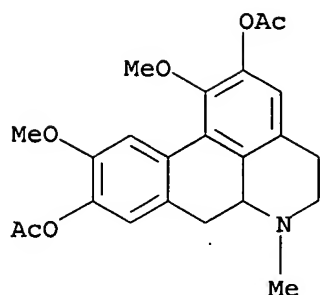
10/525,985

TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,  
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
AU 2003288304 A1 20040430 AU 2003-288304 20030729  
EP 1534682 A1 20050601 EP 2003-780203 20030729  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK  
JP 2005537332 T2 20051208 JP 2004-535571 20030729  
PRIORITY APPLN. INFO.: FR 2002-10810 A 20020830  
WO 2003-FR2400 W 20030729  
OTHER SOURCE(S): MARPAT 140:235926  
GI



I

- AB The present invention relates to new derivs. I (R1, R2, R3, R4, R5 = H, alkyl, aryl, aralkyl, acyl, sulfonyl sugar) of noraporphine, their optical isomers, their mixts. and their cosmetically acceptable salts, it also relates to all the cosmetic and dermopharmaceutic compns. which contain one or more these derivs., only or in partnership with an extract of plant, particularly the *Glaucium flavum*, and in particular the preps. having for objective a reduction in the pigmentation, an anti-age effect, or thinning. Thus, 2,9-diacetoxy-1,10-dimethoxy-6-methylnoraporphine [I; R1 = R4 = Ac, R2 = R3 = R5 = Me; Ac = COMe] was prepared from 2,9-dihydroxy-1,10-dimethoxy-6-methylnoraporphine (I; R1 = R4 = H, R2 = R3 = R5 = Me) via acetylation with Ac2O in CH2Cl2 containing EtN(CHMe2)2. I (R1 = R4 = Ac, R2 = R3 = R5 = Me) was tested for its ability to inhibit lipid peroxidn. [100% @ 0.15 mmol/L] and glycerol-3-phosphate dehydrogenase [76% @ 0.09 mmol/L]. A day cream formulation containing I (R1 = R4 = Ac, R2 = R3 = R5 = Me) is described.
- IT **73951-75-4P**, 2,9-Diacetoxy-1,10-dimethoxy-6-methylnoraporphine  
RL: COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation and bioactivity of new noraporphine derivs. for use in cosmetic and dermopharmaceutic compns.)
- RN 73951-75-4 CAPLUS
- CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:807701 CAPLUS

DOCUMENT NUMBER: 123:314219

TITLE: A novel ring cleavage and recyclization of N-cyanomethyl-1,2,3,4-tetrahydroisoquinolinium methiodides: a biomimetic synthesis of litebamine

AUTHOR(S): Hara, Hiroshi; Kaneko, Ken-ichi; Endoh, Masaki; Uchida, Hideharu; Hoshino, Osamu

CORPORATE SOURCE: Fac. Pharm. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan

SOURCE: Tetrahedron (1995), 51(37), 10189-204

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 123:314219

AB Treatment of N-cyanomethyl-6-hydroxy-1,2,3,4-tetrahydroisoquinolinium methiodide with NaOMe in MeOH caused C(1)-N fission and simultaneous recyclization to give 8-hydroxy-5-methoxymethyl-1,2,3,4-tetrahydroisoquinoline. This rearrangement was used in the synthesis of litebamine.

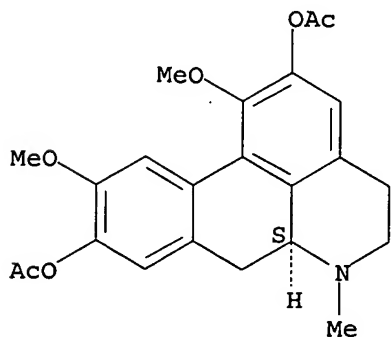
IT 72584-75-9P 169900-87-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(biomimetic synthesis of litebamine)

RN 72584-75-9 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), (6aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



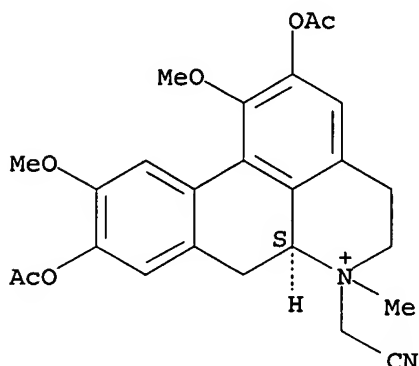
RN 169900-87-2 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 2,9-bis(acetyloxy)-6-(cyanomethyl)-5,6,6a,7-

10/525,985

tetrahydro-1,10-dimethoxy-6-methyl-, iodide, (6aS) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I<sup>-</sup>

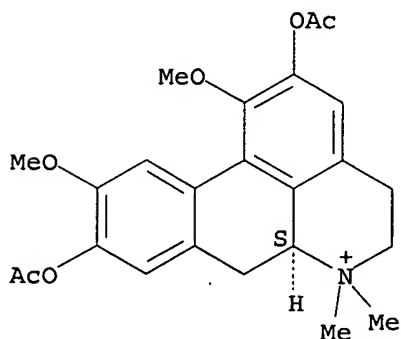
IT 170081-61-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(biomimetic synthesis of litebamine)

RN 170081-61-5 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 2,9-bis(acetyloxy)-5,6,6a,7-tetrahydro-1,10-dimethoxy-6,6-dimethyl-, iodide, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● I<sup>-</sup>

L4 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1991:78604 CAPLUS

DOCUMENT NUMBER: 114:78604

TITLE: Alkaloids of the Annonaceae. Part 95. Trivalvone, a new bisaporphine from bark of Trivalvaria macrophylla  
AUTHOR(S): Cortes, Diego; Davoust, Daniel; Hadi, A. Hamid A.; Myint, Saw Hla; Hocquemiller, Reynald; Cave, Andre  
CORPORATE SOURCE: Fac. Med. Pharm., Univ. Rouen, Saint Etienne du Rouray, 76800, Fr.

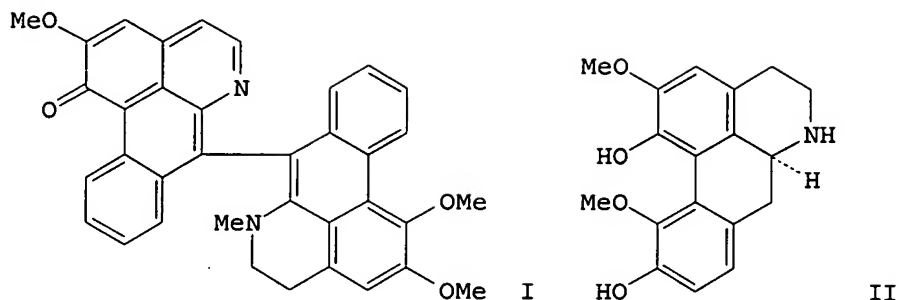
SOURCE: Journal of Natural Products (1990), 53(4), 862-6

CODEN: JNPRDF; ISSN: 0163-3864

DOCUMENT TYPE: Journal

10/525,985

LANGUAGE: French  
GI



AB Stem bark of *T. macrophylla* contained trivalone (I), which is the first example of a bisaporphine dimer alkaloid with only one 1-oxoquinoid monomer. The structure of trivalone was elucidated by  $^1\text{H}$  NMR at 400 MHz and  $^{13}\text{C}$  NMR at 100 MHz. In addition, 11 isoquinoline alkaloids were isolated from *T. macrophylla*: 1 known bisdehydroaporphine (N-methylurabaine), 1 new (norisocorytuberine; II) and 6 known aporphines (isocorytuberine, norcorydine, laurilitsine, boldine, anonaine, and nornuciferine), and 3 known oxoaporphine alkaloids (liriodenine, lysicamine, and oxostephanine). Diagnostic chemical shift data ( $^1\text{H}$  NMR) for 6 tetrasubstituted aporphine alkaloids in  $\text{CDCl}_3$  and  $\text{C}_5\text{D}_5\text{N}$  are reported.

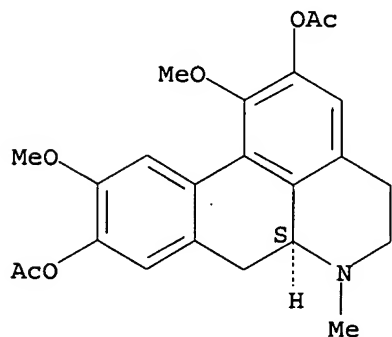
IT 72584-75-9

RL: PRP (Properties)  
(NMR of)

RN 72584-75-9 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1986:164706 CAPLUS

DOCUMENT NUMBER: 104:164706

TITLE: Combined enzymic and chemical synthesis of N-methylurabaine

AUTHOR(S): Rosazza, John P.; Reeg, Scot; Yang, Li Ming

CORPORATE SOURCE: Coll. Pharm., Univ. Iowa, Iowa City, IA, 52242, USA

SOURCE: Enzyme and Microbial Technology (1986), 8(3), 161-5  
CODEN: EMTED2; ISSN: 0141-0229

DOCUMENT TYPE: Journal

LANGUAGE: English

10/525,985

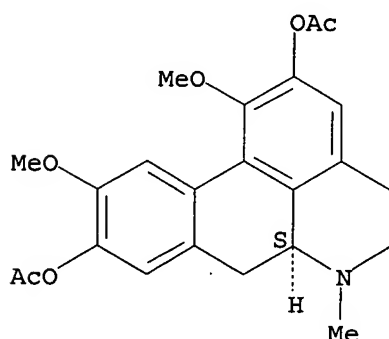
AB The lipase of *Candida cylindracea* was used to facilitate a combined enzymic-chemical synthesis of the alkaloid, N-methylaurotetanine. The basis for this synthesis is the regioselective enzymic hydrolysis of the acetate ester functional group at the 2-position of diacetylboldine. Optimal esterase conditions for the yeast enzyme were established with p-nitrophenyl acetate as substrate and these were used in the hydrolysis of the alkaloid diacetate. The synthetic pathway described illustrates the value of enzymes as reagents in synthetic organic chemical

IT 101554-39-6P  
RL: RCT (Reactant); PREP (Preparation); RACT (Reactant or reagent) (preparation and enzymic hydrolysis of)

RN 101554-39-6 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), hydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L4 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:487491 CAPLUS

DOCUMENT NUMBER: 101:87491

TITLE: 6a,7-Dehydroboldine from the bark of *Peumus boldus*

AUTHOR(S): Urzua, Alejandro; Torres, Rene

CORPORATE SOURCE: Fac. Cienc., Univ. Santiago, Santiago, Chile

SOURCE: Journal of Natural Products (1984), 47(3), 525-6  
CODEN: JNPRDF; ISSN: 0163-3864

DOCUMENT TYPE: Journal

LANGUAGE: English

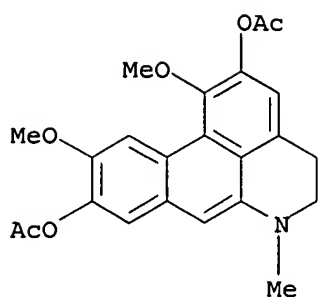
AB The isolation and characterization of 6a,7-dehydroboldine, a minor component of the phenolic alkaloid fraction from bark of *P. boldus*, is reported.

IT 78178-93-5P  
RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

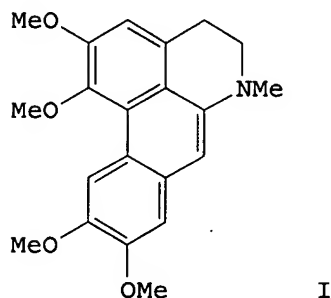
RN 78178-93-5 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6-dihydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)

10/525,985



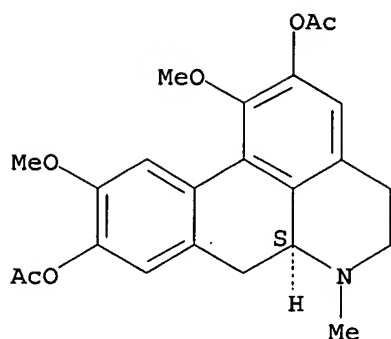
L4 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN  
ACCESSION NUMBER: 1981:443422 CAPLUS  
DOCUMENT NUMBER: 95:43422  
TITLE: Isoquinoline alkaloids. XVII. Oxidation of  
aporphines by triplet benzophenone  
AUTHOR(S): Castedo, Luis; Iglesias, Teresa; Puga, Alberto; Saa,  
Jose M.; Suau, Rafael  
CORPORATE SOURCE: Fac. Quim., Inst. Prod. Nat. Org., Santiago de  
Compostela, Spain  
SOURCE: Heterocycles (1981), 15(2), 915-18  
CODEN: HTCYAM; ISSN: 0385-5414  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
GI



AB Nonphenolic and phenolic aporphine and noraporphine alkaloids were  
dehydrogenated by triplet benzophenone. It is based on the photoredn. of  
Ph<sub>2</sub>CO by amines. Thus, (+)-glaucine was irradiated in a pyridine/H<sub>2</sub>O  
solution containing Ph<sub>2</sub>CO to give 75% dehydroglaucine (I).  
IT 72584-75-9  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(dehydrogenation of, with triplet benzophenone)  
RN 72584-75-9 CAPLUS  
CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-  
methyl-, diacetate (ester), (6aS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/525,985

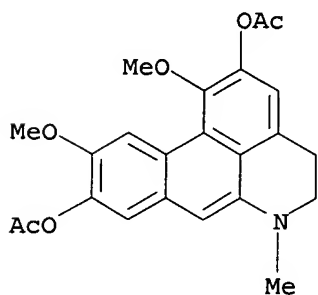


IT 78178-93-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 78178-93-5 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6-dihydro-1,10-dimethoxy-6-methyl-,  
diacetate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:426596 CAPLUS

DOCUMENT NUMBER: 93:26596

TITLE: Studies on tetrahydroisoquinolines. XVI. Preparation  
of 2-hydroxyaporphines via o-quinol acetates

AUTHOR(S): Hoshino, Osamu; Ohtani, Minoru; Umezawa, Bunsuke

CORPORATE SOURCE: Fac. Pharm. Sci., Sci. Univ. Tokyo, Tokyo, 162, Japan

SOURCE: Chemical & Pharmaceutical Bulletin (1979), 27(12),  
3101-5

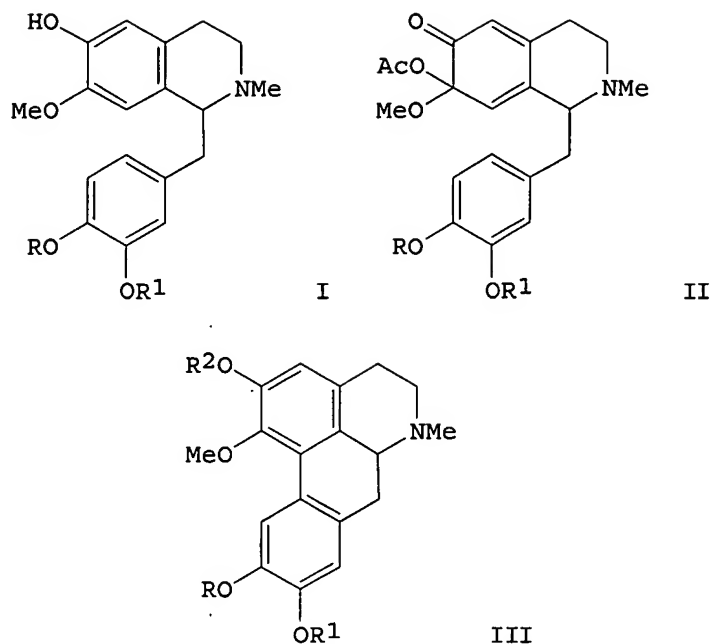
CODEN: CPBTAL; ISSN: 0009-2363

DOCUMENT TYPE: Journal

LANGUAGE: English

GI





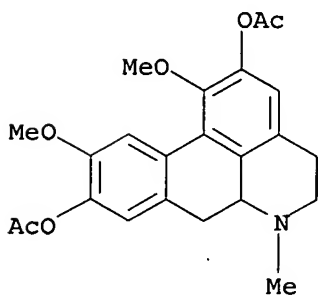
AB Pb(OAc)<sub>4</sub> treatment of benzylisoquinolines I (R = R<sub>1</sub> = Me; RR<sub>1</sub> = CH<sub>2</sub>; R = Me, R<sub>1</sub> = PhCH<sub>2</sub>; R = PhCH<sub>2</sub>, R<sub>1</sub> = Me) yielded II, acetylation of which yielded aporphines III (R = R<sub>1</sub> = Me, R<sub>2</sub> = Ac (IV); RR<sub>1</sub> = CH<sub>2</sub>, R<sub>2</sub> = Ac (V); R = Me, R<sub>1</sub> = R<sub>2</sub> = Ac (VI); R = R<sub>2</sub> = Ac, R<sub>1</sub> = Me) (VII). Alkaline hydrolysis of IV-VII yielded III [(R = R<sub>1</sub> = Me, R<sub>2</sub> = H) (predicentrine) (VIII); (RR<sub>1</sub> = CH<sub>2</sub>, R<sub>2</sub> = H) (isodomeesticine) (IX); (R = Me, R<sub>1</sub> = R<sub>2</sub> = H) (boldine); (R = R<sub>2</sub> = H, R<sub>1</sub> = Me)]. Methylation of VIII and IX by CH<sub>2</sub>N<sub>2</sub> yielded III (R = R<sub>1</sub> = R<sub>2</sub> = Me; RR<sub>1</sub> = CH<sub>2</sub>, R<sub>2</sub> = Me).

IT 73951-75-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and alkaline hydrolysis of)

RN 73951-75-4 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester) (9CI) (CA INDEX NAME)

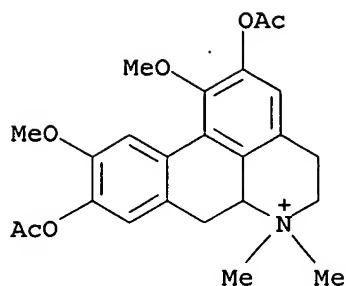


IT 73910-73-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 73910-73-3 CAPLUS

CN 4H-Dibenzo[de,g]quinolinium, 2,9-bis(acetyloxy)-5,6,6a,7-tetrahydro-1,10-dimethoxy-6,6-dimethyl-, iodide (9CI) (CA INDEX NAME)

● I<sup>-</sup>

L4 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:76745 CAPLUS

DOCUMENT NUMBER: 92:76745

TITLE: The carbon-13 NMR spectra of aporphine alkaloids

AUTHOR(S): Jackman, L. M.; Trewella, J. C.; Moniot, J. L.;  
Shamma, M.; Stephens, Richard L.; Wenkert, Ernest;  
Leboeuf, Michel; Cave, AndreCORPORATE SOURCE: Dep. Chem., Pennsylvania State Univ., University Park,  
PA, 16802, USASOURCE: Journal of Natural Products (1979), 42(5), 437-49  
CODEN: JNPRDF; ISSN: 0163-3864

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Carbon-13 NMR spectra of 21 aporphine alkaloids were analyzed and resonance bands assigned by means of spin-spin multiplicities, coupling constant and virtual coupling data, selective and single frequency off-resonance double irradiation techniques, and spin lattice relaxation times. The chemical shifts of the twelve aromatic C atoms were correlated with the types of O substitution.

IT 72584-75-9

RL: PRP (Properties)  
(carbon-13 NMR of)

RN 72584-75-9 CAPLUS

CN 4H-Dibenzo[de,g]quinoline-2,9-diol, 5,6,6a,7-tetrahydro-1,10-dimethoxy-6-methyl-, diacetate (ester), (6aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

